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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

| | | | |
|--------------|---|--|---|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | AUG 15 | CAOLD to be discontinued on December 31, 2008 |
| NEWS | 3 | OCT 07 | EPFULL enhanced with full implementation of EPC2000 |
| NEWS | 4 | OCT 07 | Multiple databases enhanced for more flexible patent number searching |
| NEWS | 5 | OCT 22 | Current-awareness alert (SDI) setup and editing enhanced |
| NEWS | 6 | OCT 22 | WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications |
| NEWS | 7 | OCT 24 | CHEMLIST enhanced with intermediate list of pre-registered REACH substances |
| NEWS | 8 | NOV 21 | CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present |
| NEWS | 9 | NOV 26 | MARPAT enhanced with FSORT command |
| NEWS | 10 | NOV 26 | MEDLINE year-end processing temporarily halts availability of new fully-indexed citations |
| NEWS | 11 | NOV 26 | CHEMSAFE now available on STN Easy |
| NEWS | 12 | NOV 26 | Two new SET commands increase convenience of STN searching |
| NEWS | 13 | DEC 01 | ChemPort single article sales feature unavailable |
| NEWS | 14 | DEC 12 | GBFULL now offers single source for full-text coverage of complete UK patent families |
| | | | |
| NEWS EXPRESS | JUNE 27 08 | CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008. | |
| | | | |
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability | | |
| NEWS LOGIN | Welcome Banner and News Items | | |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 | | |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 08:48:52 ON 16 DEC 2008

=> file reg
COST IN U.S. DOLLARS
FULL ESTIMATED COST

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |
| 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 08:49:00 ON 16 DEC 2008
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 14 DEC 2008 HIGHEST RN 1084385-33-0
DICTIONARY FILE UPDATES: 14 DEC 2008 HIGHEST RN 1084385-33-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

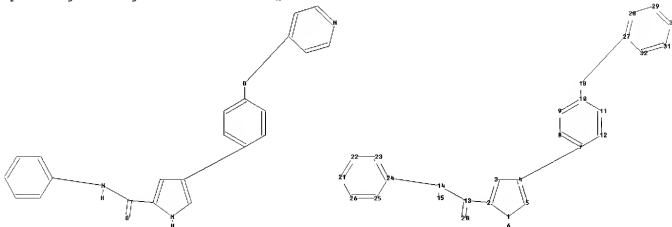
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdnoc/properties.html>

=>
Uploading C:\Program Files\STNEXP\Queries\10579825 elected.str



chain nodes :
6 13 14 15 18 20

ring nodes :
1 2 3 4 5 7 8 9 10 11 12 21 22 23 24 25 26 27 28 29 30 31 32

chain bonds :
1-6 2-13 4-7 10-18 13-14 13-20 14-15 14-24 18-27

ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-12 8-9 9-10 10-11 11-12 21-22 21-26 22-23
23-24 24-25 25-26 27-28 27-32 28-29 29-30 30-31 31-32

```

exact/norm bonds :
1-2 1-5 10-18 13-14 13-20 14-24 18-27
exact bonds :
1-6 2-3 2-13 3-4 4-5 4-7 14-15
normalized bonds :
7-8 7-12 8-9 9-10 10-11 11-12 21-22 21-26 22-23 23-24 24-25 25-26 27-28
7-32 28-29 29-30 30-31 31-32
isolated ring systems :
containing 1 : 7 : 21 : 27 :

```

G1:O,S,N

G2:Cb,Cy,Hy

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 18:CLASS 20:CLASS 21:Atom 22:Atom
23:Atom 24:Atom
25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:CLASS 31:CLASS 32:Atom

```

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.46

0.67

FILE 'CAPLUS' ENTERED AT 08:49:17 ON 16 DEC 2008

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FILE COVERS 1907 - 16 Dec 2008 VOL 149 ISS 25

FILE LAST UPDATED: 15 Dec 2008 (20081215/ED)

Caplus now includes complete International Patent Classification (IPC)

reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s ll SSS Full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 08:49:27 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 417 TO ITERATE

100.0% PROCESSED 417 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

L2 4 SEA SSS FUL L1

L3 1 L2

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:469894 CAPLUS Full-text

DOCUMENT NUMBER: 143:7592

TITLE: Preparation of arylpyrrolicarboxamides as Raf kinase inhibitors for treatment of tumors.

INVENTOR(S): Finsinger, Dirk; Buchstaller, Hans-Peter; Burgdorf, Lars; Wiesner, Matthias; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 32 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| ----- | --- | ----- | ----- | ----- |
| DE 10354060 | A1 | 20050602 | DE 2003-10354060 | 20031119 |
| AU 2004291255 | A1 | 20050602 | AU 2004-291255 | 20041026 |
| CA 2546334 | A1 | 20050602 | CA 2004-2546334 | 20041026 |
| WO 2005049603 | A1 | 20050602 | WO 2004-EP12076 | 20041026 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, | | | | |
| CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, | | | | |
| GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, | | | | |
| LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, | | | | |
| NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, | | | | |
| TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, | | | | |

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

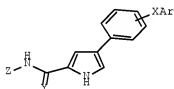
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| EP 1685125 | A1 | 20060802 | EP 2004-790859 | 20041026 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| CN 1882571 | A | 20061220 | CN 2004-80034345 | 20041026 |
| BR 2004016690 | A | 20070130 | BR 2004-16690 | 20041026 |
| JP 2007511553 | T | 20070510 | JP 2006-540216 | 20041026 |
| IN 2006KN0936 | A | 20070420 | IN 2006-KN936 | 20060417 |
| MX 2006PA05478 | A | 20060811 | MX 2006-PA5478 | 20060515 |
| KR 2006118492 | A | 20061123 | KR 2006-709552 | 20060517 |
| US 20070149594 | A1 | 20070628 | US 2006-579825 | 20060517 |

PRIORITY APPLN. INFO.:

| | | |
|------------------|---|----------|
| DE 2003-10354060 | A | 20031119 |
| WO 2004-EP12076 | W | 20041026 |

OTHER SOURCE(S): MARPAT 143:7592

GI



I

AB Title compds. [I; Ar = (substituted) Ph, naphthyl, biphenyl, heterocyclyl; X = O, S, (CH₂)_n, CO, (CH₂)_nO, (CH₂)_nNH, etc.; n = 1-3; Y = O, S, CHNO₂, C(CN)₂, NR₄; R₄ = H, cyano, OH, etc.; Z = Ar, ArXAr, CH₂Ar, CH₂ArXAr; Ar = (substituted) Ph], were prepared as Raf kinase inhibitors (no data). Thus, 4-(PhCH₂O)C₆H₄CH₂CO₂H, DMF, and POCl₃ were heated together at 70° for 4 h followed by cooling and addition of ice water and aqueous NaClO₄ to give 98% [2-(4-benzyloxyphenyl)-3-dimethylaminoallylidene]dimethylammonium perchlorate. This was refluxed 24 h with glycine Et ester hydrochloride in EtOH containing 20% NaOEt to give 91% Et 4-(4-benzyloxyphenyl)-1H-pyrrole-2-carboxylate. Hydrogenolysis of the latter in EtOAc over Pd/C gave 91% Et 4-(4-hydroxyphenyl)-1H-pyrrole-2-carboxylate. This was heated with 4-chloropyridine-2-carboxylic acid N-methylamide at 160° for 48 h to give 40% Et 4-[4-(2-methylcarbamoylpyridin-4-yloxy)phenyl]-1H-pyrrole-2-carboxylate. Saponification with 2N NaOH in EtOH at 60° for 16 h followed by acidification with HCl gave 85% free acid, which was stirred 48 h in DMF with 5-amino-2-chlorobenzotrifluoride, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, and 1-hydroxybenzotriazole hydrate to give 17% 4-[4-[5-(4-chloro-3-trifluoromethylphenylcarbamoyl)-1H-pyrrol-3-yl]phenoxy]pyridine-2-carboxylic acid N-methylamide.

IT 852455-19-7P 852455-21-1P 852455-22-2P
 852455-24-4P

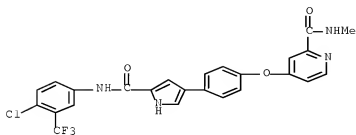
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of arylpyrrolecarboxamides as Raf kinase inhibitors for treatment of tumors)

RN 852455-19-7 CAPLUS

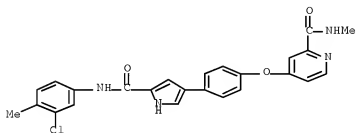
CN 2-Pyridinecarboxamide, 4-[4-[5-[[4-chloro-3-

(trifluoromethyl)phenyl]amino]carbonyl]-1H-pyrrol-3-yl]phenoxy]-N-methyl-
(CA INDEX NAME)



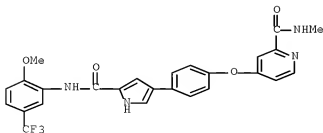
RN 852455-21-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[5-[(3-chloro-4-methylphenyl)amino]carbonyl]-1H-pyrrol-3-yl]phenoxy]-N-methyl- (CA INDEX NAME)



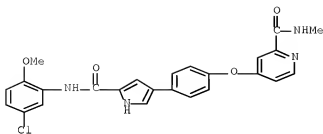
RN 852455-22-2 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[5-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]-1H-pyrrol-3-yl]phenoxy]-N-methyl-
(CA INDEX NAME)



RN 852455-24-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[5-[[[5-chloro-2-methoxyphenyl]amino]carbonyl]-1H-pyrrol-3-yl]phenoxy]-N-methyl- (CA INDEX NAME)



=> file marpat

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

6.89

186.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-0.80

-0.80

FILE 'MARPAT' ENTERED AT 08:51:09 ON 16 DEC 2008

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FILE CONTENT: 1961-PRESENT VOL 149 ISS 24 (20081212/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES

(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20080280867 13 NOV 2008

DE 102008019744 30 OCT 2008

EP 1990054 12 NOV 2008

JP 2008262895 30 OCT 2008

WO 2008136863 13 NOV 2008

GB 2448808 29 OCT 2008

FR 2915685 07 NOV 2008

RU 2337918 10 NOV 2008

CA 2629177 18 OCT 2008

Expanded G-group definition display now available.

The new MARPAT User Guide is now available at:

<http://www.cas.org/support/stngen/stndoc/marpat.html>.

=> s L1 SSS Full

FULL SEARCH INITIATED 08:51:13 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 8419 TO ITERATE

100.0% PROCESSED 8419 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.07

L4 3 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 125.26 | 311.66 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -0.80 |

FILE 'CAPLUS' ENTERED AT 08:51:25 ON 16 DEC 2008
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FILE COVERS 1907 - 16 Dec 2008 VOL 149 ISS 25
FILE LAST UPDATED: 15 Dec 2008 (20081215/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s L4

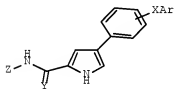
L5 3 L4

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:469894 CAPLUS Full-text
DOCUMENT NUMBER: 143:7592
TITLE: Preparation of arylpyrrolicarboxamides as Raf kinase inhibitors for treatment of tumors.
INVENTOR(S): Finsinger, Dirk; Buchstaller, Hans-Peter; Burgdorf, Lars; Wiesner, Matthias; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| DE 10354060 | A1 | 20050602 | DE 2003-10354060 | 20031119 |
| AU 2004291255 | A1 | 20050602 | AU 2004-291255 | 20041026 |
| CA 2546334 | A1 | 20050602 | CA 2004-2546334 | 20041026 |
| WO 2005049603 | A1 | 20050602 | WO 2004-EP12076 | 20041026 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1685125 | A1 | 20060802 | EP 2004-790859 | 20041026 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| CN 1882571 | A | 20061220 | CN 2004-80034345 | 20041026 |
| BR 2004016690 | A | 20070130 | BR 2004-16690 | 20041026 |
| JP 2007511553 | T | 20070510 | JP 2006-540216 | 20041026 |
| IN 2006KN00936 | A | 20070420 | IN 2006-KN936 | 20060417 |
| MX 2006PA05478 | A | 20060811 | MX 2006-PA5478 | 20060515 |
| KR 2006118492 | A | 20061123 | KR 2006-709552 | 20060517 |
| US 20070149594 | A1 | 20070628 | US 2006-579825 | 20060517 |
| PRIORITY APPLN. INFO.: | | | DE 2003-10354060 | A 20031119 |
| | | | WO 2004-EP12076 | W 20041026 |
| OTHER SOURCE(S): MARPAT 143:7592 | | | | |
| GI | | | | |



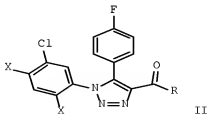
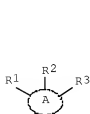
I

AB Title compds. [I; Ar = (substituted) Ph, naphthyl, biphenyl, heterocyclyl; X = O, S, (CH₂)_n, CO, (CH₂)_nO, (CH₂)_nNH, etc.; n = 1-3; Y = O, S, CHNO₂, C(CN)₂, NR₄; R₄ = H, cyano, OH, etc.; Z = Ar, ArXAr, CH₂Ar, CH₂ArXAr; Ar = (substituted) Ph], were prepared as Raf kinase inhibitors (no data). Thus, 4-(PhCH₂O)C₆H₄CH₂CO₂H, DMF, and POCl₃ were heated together at 70° for 4 h followed by cooling and addition of ice water and aqueous NaClO₄ to give 98% [2-(4-benzoyloxyphenyl)-3-dimethylaminoallylidene]dimethylammonium perchlorate. This was refluxed 24 h with glycine Et ester hydrochloride in EtOH containing 20% NaOEt to give 91% Et 4-(4-benzoyloxyphenyl)-1H-pyrrole-2-carboxylate. Hydrogenolysis of the latter in EtOAc over Pd/C gave 91% Et 4-(4-hydroxyphenyl)-1H-pyrrole-2-carboxylate. This was heated with 4-chloropyridine-2-carboxylic acid N-methylamide at 160° for 48 h to give 40% Et 4-[4-(2-methylcarbamoylpyridin-4-yloxy)phenyl]-1H-pyrrole-2-carboxylate. Saponification with 2N NaOH in EtOH at 60° for 16 h followed by acidification with HCl gave 85% free acid, which was stirred 48 h in DMF with 5-amino-2-

chlorobenzotrifluoride, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, and 1-hydroxybenzotriazole hydrate to give 17% 4-[4-[5-(4-chloro-3-trifluoromethylphenylcarbonyl)-1H-pyrrol-3-yl]phenoxy]pyridine-2-carboxylic acid N-methylamide.

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:14213 CAPLUS Full-text
 DOCUMENT NUMBER: 142:114071
 TITLE: Preparation of substituted 5-membered ring compounds as heat shock protein 90 (HSP90) inhibitors
 INVENTOR(S): Cheung, Kwai Ming; Dymock, Brian William; MacDonald, Edward; Drysdale, Martin James
 PATENT ASSIGNEE(S): Vernalis Cambridge Limited, UK; Cancer Research Technology Ltd.; The Institute of Cancer Research
 SOURCE: PCT Int. Appl., 49 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|-------------------|-----------------|------------|
| WO 2005000300 | A1 | 20050106 | WO 2004-GB2755 | 20040624 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1638555 | A1 | 20060329 | EP 2004-743106 | 20040624 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | |
| US 20060235058 | A1 | 20061019 | US 2005-561969 | 20051222 |
| PRIORITY APPLN. INFO.: | | | GB 2003-15111 | A 20030627 |
| | | | WO 2004-GB2755 | W 20040624 |
| OTHER SOURCE(S): | | MARPAT 142:114071 | | |
| GI | | | | |



AB Title compds. I [wherein A = 5-membered cycle; R1 = (un)substituted (hetero)aryl; R2 (adjacent to R1) = absence, H, carboxamide, (un)substituted (hetero)aryl, carbocycle or heterocycle; R3 (adjacent to R2) = absence, H, (un)substituted cycloalk(en)yl, alk(en/yn)yl, carboxyl, carboxamide or ester; with some limitations, or salts, N-oxides, hydrates or solvates thereof] were prepared as heat shock protein 90 (HSP90) inhibitors. Thus, 5-chloro-2,4-dimethoxyphenylamine was treated with NaNO₂ in the presence of H₂SO₄ followed by the addition of NaN₃. The resultant azide underwent cyclization with 3-(4-fluorophenyl)-3-oxopropionic acid Me ester gave intermediate II (X = OMe, R = OH). Demethylation of this compound with 48% HBr followed by esterification with EtOH yielded triazolecarboxylate II (X = OH, R = OEt), which showed IC₅₀ <10 µM for binding to HSP90 in a fluorescence polarization assay. Therefore, I and their compns. are useful for immunosuppression or the treatment of cancers, viral disease, inflammatory diseases and so on.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:589375 CAPLUS Full-text
 DOCUMENT NUMBER: 141:140459

TITLE: Preparation of sulfamides as anti-cancer agents
 INVENTOR(S): Flynn, Daniel L.; Petrillo, Peter A.
 PATENT ASSIGNEE(S): Deciphera Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 168 pp.
 CODEN: PIXXD2

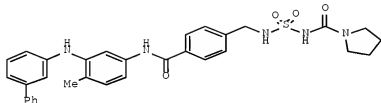
DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2004060305 | A2 | 20040722 | WO 2003-US41425 | 20031226 |
| WO 2004060305 | A3 | 20050210 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
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| EP 1590344 | A2 | 20051102 | EP 2003-814980 | 20031226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
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OTHER SOURCE(S):
GI

MARPAT 141:140459



I

AB Sulfamides, such as I, were prepared for use as anticancer agents which act by modulating the activation states of abl or bcr-abl α -kinase proteins. Thus, 4-HO₂CC₆H₄CH₂NHSO₂NHCOR [R = pyrrolidinol], prepared from 4-MeO₂CC₆H₄CH₂NH₂ and pyrrolidine, was treated with the pyrimidinylaminoaniline fragment to give I, which showed 10% inhibition of non-phosphorylated abl kinase at 10 μ M.

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LOGOFF? (Y)/N/HOLD:y

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